```
=> d his

     (FILE 'HOME' ENTERED AT 11:50:53 ON 08 MAR 2006)

     FILE 'REGISTRY' ENTERED AT 11:51:02 ON 08 MAR 2006
L1               STRUCTURE UPLOADED
L2               STRUCTURE UPLOADED
L3               STRUCTURE UPLOADED
L4               STRUCTURE UPLOADED
L5             0 S L1 OR L2 OR L3 OR L4
L6            51 S L5 FULL

     FILE 'CAPLUS' ENTERED AT 11:53:22 ON 08 MAR 2006
L7            10 S L6

=> d que l7 stat
L1               STR
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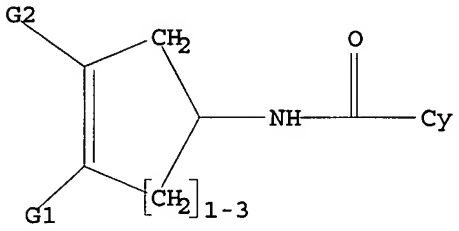
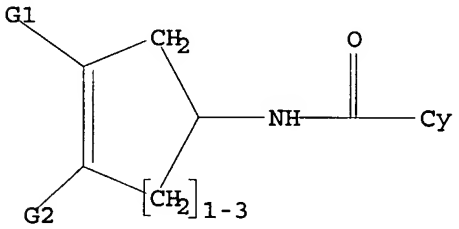


G1 O,S,N

G2 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

L2 STR

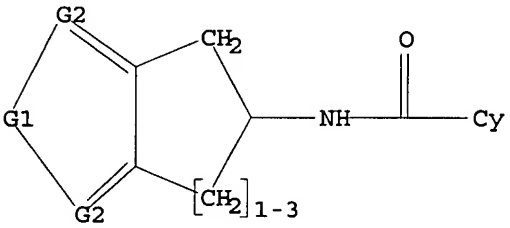


G1 O,S,N

G2 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

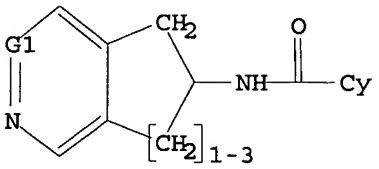
L3 STR



G1 O,S,N

G2 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.
L4 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.
L6 51 SEA FILE=REGISTRY SSS FUL L1 OR L2 OR L3 OR L4
L7 10 SEA FILE=CAPLUS ABB=ON PLU=ON L6

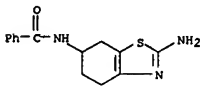
=> d 1-10 bib abs hitstr

L7 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:101042 CAPLUS
DN 144:169674
TI Biocatalytic process for preparing enantiomerically enriched pramipexole
IN Valivety, Rao H.; Michels, Peter C.; Pantaleone, David P.; Khmelnitsky, Yuri L.
PA Amr Technology, Inc., USA
SO PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006012277	A2	20060202	WO 2005-US22417	20050623

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2004-584422P P 20040630
AB Biocatalytic process for preparing enantiomerically enriched pramipexole and
pramipexole precursors are disclosed.
IT 874658-82-9
RL: BCP (Biochemical process); RCT (Reactant); BIOL (Biological study); PROC (Process); RACT (Reactant or reagent)
(biocatalytic process for preparing enantiomerically enriched pramipexole)
RN 874658-82-9 CAPLUS
CN Benzamide, N-(2-amino-4,5,6,7-tetrahydro-6-benzothiazolyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1200420 CAPLUS
DN 143:460176
TI Preparation of 5,6,7,8-tetrahydro-2-quinazolinamines and related compounds
as norepinephrine reuptake inhibitors
IN Oberboersch, Stefan; Sundermann, Bernd; Sundermann, Corinna; Haurand, Michael; Hennies, Hagen-Heinrich; Bijsterveld, Edward
PA Gruenenthal G.m.b.H., Germany
SO PCT Int. Appl., 193 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

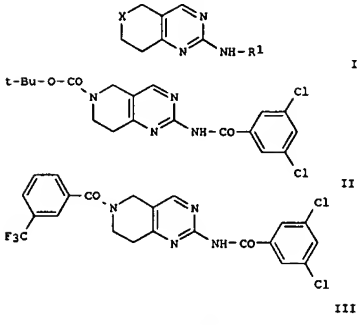
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005105759	A1	20051110	WO 2005-EP4489	20050427

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

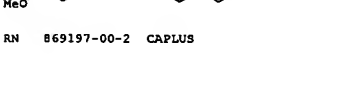
DE 102004020908	A1	20051117	DE 2004-102004020908	20040428

PRAI DE 2004-102004020908 A 20040428
OS MARPAT 143:460176
GI

L7 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

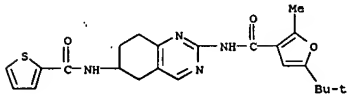


AB Title compds. I [X = CH(NHR2), NR2a; R1 = COR3, COOR4; R2, R2a = COR5, SO2R6; R3 = alkyl with provisos; R4 = (un)substituted aryl, heteroaryl; R5
= alkyl with provisos; R6 = NR10R11; R10, R11 = alkyl] and their pharmaceutically acceptable salts were prepared For example, sequential Boc-deprotection of amine II and N-acylation with 3-trifluorobenzoic acid afforded claimed quinazolinamine in 55% yield. In norepinephrine reuptake
assays, 51-examples of compds. I at 10 μM exhibited 29-96% inhibition.
IT 869196-67-8P 869197-00-2P 869197-02-4P
869197-09-1P 869197-15-9P 869197-40-0P
869197-45-5P 869197-60-4P 869197-63-7P
869197-75-1P 869198-08-3P 869198-40-3P
869198-50-5P 869198-59-4P 869198-62-9P
869198-77-6P 869198-80-1P 869198-89-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydroquinazolinamines and related compds. as norepinephrine reuptake inhibitors)
RN 869196-67-8 CAPLUS
CN 2-Thiophenecarboxamide, N-(5,6,7,8-tetrahydro-6-[(3,4,5-trimethoxybenzoyl)amino]-2-quinazolinyl)- (9CI) (CA INDEX NAME)

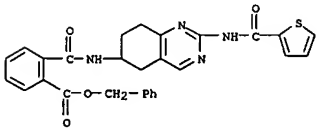


RN 869197-00-2 CAPLUS

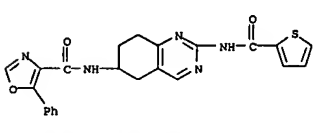
L7 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 3-Furancarboxamide, 5-(1,1-dimethylethyl)-2-methyl-N-[5,6,7,8-tetrahydro-6-[(2-thienylcarbonyl)amino]-2-quinazolinyl]- (9CI) (CA INDEX NAME)



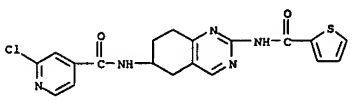
RN 869197-02-4 CAPLUS
CN Benzoic acid, 2-[[[5,6,7,8-tetrahydro-2-[(2-thienylcarbonyl)amino]-6-quinazolinyl]amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 869197-09-1 CAPLUS
CN 4-Oxazolecarboxamide, 5-phenyl-N-[5,6,7,8-tetrahydro-2-[(2-thienylcarbonyl)amino]-6-quinazolinyl]- (9CI) (CA INDEX NAME)

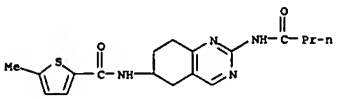


RN 869197-15-9 CAPLUS
CN 4-Pyridinecarboxamide, 2-chloro-N-[5,6,7,8-tetrahydro-2-[(2-thienylcarbonyl)amino]-6-quinazolinyl]- (9CI) (CA INDEX NAME)

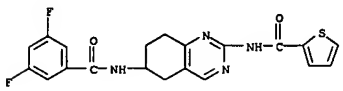


RN 869197-40-0 CAPLUS

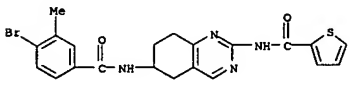
L7 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 2-Thiophenecarboxamide, 5-methyl-N-[5,6,7,8-tetrahydro-2-[(1-oxobutyl)amino]-6-quinazolinyl]- (9CI) (CA INDEX NAME)



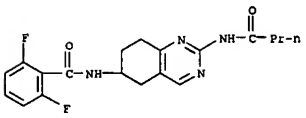
RN 869197-45-5 CAPLUS
CN 2-Thiophenecarboxamide, N-[6-[(3,5-difluorobenzoyl)amino]-5,6,7,8-tetrahydro-2-quinazolinyl]- (9CI) (CA INDEX NAME)



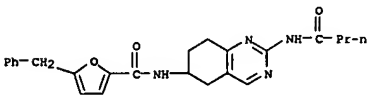
RN 869197-60-4 CAPLUS
CN 2-Thiophenecarboxamide, N-[6-[(4-bromo-3-methylbenzoyl)amino]-5,6,7,8-tetrahydro-2-quinazolinyl]- (9CI) (CA INDEX NAME)



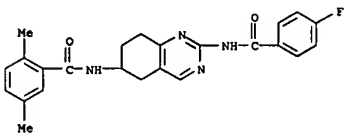
RN 869197-63-7 CAPLUS
CN Benzamide, 2,6-difluoro-N-[5,6,7,8-tetrahydro-2-[(1-oxobutyl)amino]-6-quinazolinyl]- (9CI) (CA INDEX NAME)



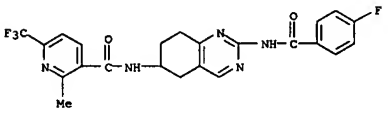
RN 869197-75-1 CAPLUS
CN 2-Furancarboxamide, 5-(phenylmethyl)-N-[5,6,7,8-tetrahydro-2-[(1-oxobutyl)amino]-6-quinazolinyl]- (9CI) (CA INDEX NAME)



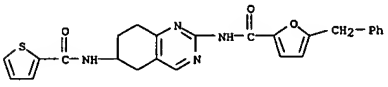
RN 869198-08-3 CAPLUS
CN Benzamide, N-[2-[(4-fluorobenzoyl)amino]-5,6,7,8-tetrahydro-6-quinazolinyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)



RN 869198-40-3 CAPLUS
CN 3-Pyridinecarboxamide, N-[2-[(4-fluorobenzoyl)amino]-5,6,7,8-tetrahydro-6-quinazolinyl]-2-methyl-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

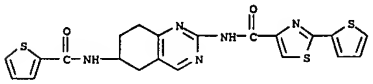


RN 869198-50-5 CAPLUS
CN 2-Furancarboxamide, 5-(phenylmethyl)-N-[5,6,7,8-tetrahydro-6-[(2-thienylcarbonyl)amino]-2-quinazolinyl]- (9CI) (CA INDEX NAME)

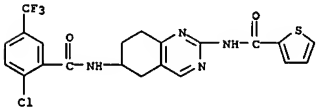


L7 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

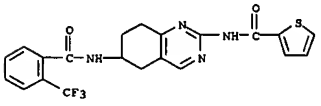
RN 869198-59-4 CAPLUS
CN 4-Thiazolecarboxamide, N-[5,6,7,8-tetrahydro-6-[(2-thienylcarbonyl)amino]-2-quinazolinyl]-2-(2-thienyl)- (9CI) (CA INDEX NAME)



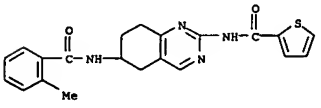
RN 869198-62-9 CAPLUS
CN 2-Thiophenecarboxamide, N-[6-[[2-chloro-5-(trifluoromethyl)benzoyl]amino]-5,6,7,8-tetrahydro-2-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 869198-77-6 CAPLUS
CN 2-Thiophenecarboxamide, N-[5,6,7,8-tetrahydro-6-[[2-(trifluoromethyl)benzoyl]amino]-2-quinazolinyl]- (9CI) (CA INDEX NAME)

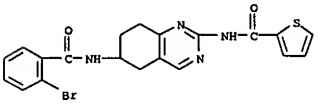


RN 869198-80-1 CAPLUS
CN 2-Thiophenecarboxamide, N-[5,6,7,8-tetrahydro-6-[(2-methylbenzoyl)amino]-2-quinazolinyl]- (9CI) (CA INDEX NAME)



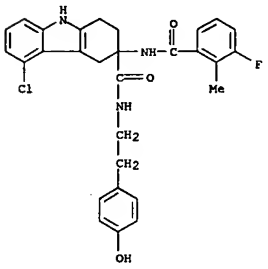
L7 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 869198-89-0 CAPLUS
CN 2-Thiophenecarboxamide, N-[6-[(2-bromobenzoyl)amino]-5,6,7,8-tetrahydro-2-quinazolinyl]- (9CI) (CA INDEX NAME)



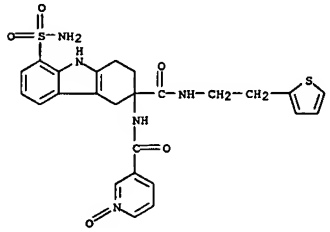
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:44313 CAPLUS
DN 142:261363
TI Solid-phase synthesis of substituted 3-amino-3'-carboxytetrahydrocarbazoles
AU Koppitz, Marcus; Reinhardt, Gabriele; van Lingen, Anneke
CS Automated Medicinal Chemistry, Schering AG, Berlin, 13342, Germany
SO Tetrahedron Letters (2005), 46(6), 911-914
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 142:261363
AB Two related solid-phase synthesis routes have been developed allowing the synthesis of 3-amino-3'-carboxy substituted tetrahydrocarbazole derivs. Diversity can be introduced at the amino and carboxy functionalities and at the nitrogen and the aromatic ring of the tetrahydrocarbazole moiety. Both routes rely on Fmoc-protected 1-amino-4-oxocyclohexanecarboxylic acid as central core element. Derivatization of the carboxy function is achieved with amines; derivatization of the amino functionality is possible by reaction with alkyl halides, isocyanates, activated alcs., sulfonic acid chlorides or carboxylic acids. The tetrahydrocarbazole scaffold is generated by Fischer indole cyclization with phenylhydrazine derivs., thereby introducing diversity in the aromatic moiety. N-Alkylation at the indole nitrogen with alkyl halides delivers N-substituted derivs.
IT **846567-76-8P 846567-77-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of substituted 3-amino-3'-carboxytetrahydrocarbazoles via two related routes involving Fischer indole cyclization and further functionalization)
RN 846567-76-8 CAPLUS
CN 1H-Carbazole-3-carboxamide, 5-chloro-3-[(3-fluoro-2-methylbenzoyl)amino]-2,3,4,9-tetrahydro-N-[2-(4-hydroxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 846567-77-9 CAPLUS
CN 1H-Carbazole-3-carboxamide, 8-(aminosulfonyl)-2,3,4,9-tetrahydro-3-[[(1-oxido-3-pyridinyl)carbonyl]amino]-N-[2-(2-thienyl)ethyl]- (9CI) (CA INDEX NAME)



RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN APPLICANT
AN 2004:117214 CAPLUS
DN 140:163869
TI Preparation of acylated, heteroaryl-condensed cycloalkenylamines for treatment of cardiovascular disorders
IN Strobel, Hartmut; Wohlfart, Paulus
PA Aventis Pharma Deutschland GmbH, Germany
SO Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1388342	A1	20040211	EP 2002-17586	20020807
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	CA 2494302	AA	20040219	CA 2003-2494302	20030724
	WO 2004014372	A1	20040219	WO 2003-EP8103	20030724
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003251466	A1	20040225	AU 2003-251466	20030724
	EP 1534277	A1	20050601	EP 2003-784055	20030724
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003013240	A	20050927	BR 2003-13240	20030724
	JP 2005538124	T2	20051215	JP 2004-526765	20030724
	US 2004092513	A1	20040513	US 2003-632083	20030731
	NO 2005000830	A	20050216	NO 2005-830	20050216
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	US 2002-432441P	P	20021211		
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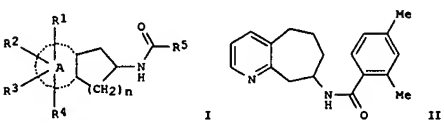
OS MARPAT 140:163869
GI

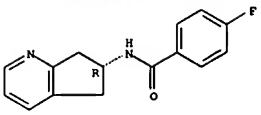


AB The title compds. (I) [the ring A = an aromatic 5-membered or 6-membered ring containing 1 or 2-nitrogen atoms as ring heteroatoms, or an aromatic 5-membered ring containing 1 ring heteroatom which is an oxygen atom or a sulfur atom or

L7 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
2 ring heteroatoms one of which is a nitrogen atom and the other of which is an oxygen atom or a sulfur atom; R1, R4 = H, each (un)substituted C1-10 alkyl, C2-10 alkenyl, or C2-10 alkynyl, COR9, CONR10R11, CO2R12, CF3, halogens, cyano, NR13R14, OR1, S(O)mR16, SO2NR17R18, NO2; R1 and R4 cannot be halogen, cyano or NO2 if R1 or R4 is bonded to a ring nitrogen atom; R2, R3 = H, halogens, cyano, (un)substituted C1-10 alkyl, PhCONH, PhSO2-O, (C1-6 alkyl)-CO, or PhCO, OH, C1-10 alkoxy, PhO, S(O)mR19, CF3, cyano, NO2, C1-10 alkylamino, di(C1-10 alkyl)amino, (C1-6 alkyl)-CONH; but R2 and R3 cannot be halogen, cyano or NO2 if R2 or R3 is bonded to a ring nitrogen atom; R5 = (un)substituted Ph, naphth-1-yl, naphth-2-yl, a 5-membered to 1 O-membered, arom., monocyclic or bicyclic heterocycle contg. one or more heteroatoms selected from the group consisting of N, O and S; R9 = (un)substituted C1-10 alkyl; R10, R12, R17 = H, (un)substituted C1-10 alkyl; R11, R18 = H, C1-10 alkyl; R13, R14 = H, C1-6 alkyl, each (un)substituted Ph, benzyl, heteroaryl, (C1-6 alkyl)-CO; R16 = (un)substituted C1-10 alkyl, CF3, each (un)substituted Ph or heteroaryl; m = 0, 1, 2; n = 1, 2, 3] are prepd. These compds. upregulate the expression of the enzyme endothelial nitric oxide (NO) synthase and can be applied in conditions in which an increased expression of said enzyme or an increased NO level or the normalization of a decreased NO level is desired. They are useful in the treatment of various disease states including cardiovascular disorders such as atherosclerosis, thrombosis, coronary artery disease, hypertension, and cardiac insufficiency. The diseases also include stable or unstable angina pectoris, coronary heart disease, Prinzmetal angina, acute coronary syndrome, heart failure, myocardial infarction, stroke, peripheral artery occlusive disease, endothelial dysfunction, restenosis, endothelial damage after PT- CA, essential hypertension, pulmonary hypertension, secondary hypertension, renovascular hypertension, chronic glomerulonephritis, erectile dysfunction, ventricular arrhythmia, diabetes, diabetes complications, nephropathy, retinopathy, angiogenesis, asthma bronchiale, chronic renal failure, cirrhosis of the liver, osteoporosis, restricted memory performance or a restricted ability to learn, or for the lowering of cardiovascular risk of postmenopausal women or of women taking contraceptives. For example, 2,4-dimethyl-N-(6,7,8,9-tetrahydro-5H-cyclohepta[b]pyridin-8-yl)benzamide (II) inhibited activation of human endothelial nitric oxide synthetase gene cloned in human endothelial cell line with EC50 of 0.054 μM.
IT **654675-40-8P**, (R)-N-[6,7-Dihydro-5H-[1]pyrindin-6-yl]-4-fluorobenzamide **654676-73-0P**, (S)-N-[6,7-Dihydro-5H-[1]pyrindin-6-yl]-4-fluorobenzamide
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylated, heteroaryl-condensed cycloalkenylamines for treatment of cardiovascular disorders)
RN 654675-40-8 CAPLUS
CN Benzamide, N-[(6R)-6,7-dihydro-5H-cyclopenta[b]pyridin-6-yl]-4-fluoro- (9CI) (CA INDEX NAME)

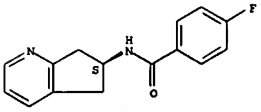
Absolute stereochemistry.

L7 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 654676-73-0 CAPLUS
CN Benzamide, N-[(6S)-6,7-dihydro-5H-cyclopenta[b]pyridin-6-yl]-4-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

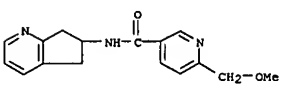


IT **654675-32-8P**, (R)-N-(6,7-Dihydro-5H-[1]pyrindin-6-yl]-2,4-dimethylbenzamide **654675-45-3P**, N-(6,7-Dihydro-5H-[1]pyrindin-6-yl]-2,6-dimethylnicotinamide **654675-50-0P**, N-[6,7-Dihydro-5H-[1]pyrindin-6-yl]-6-methoxynicotinamide **654675-56-6P**, 2-Methyl-3H-benzimidazole-5-carboxylic acid N-(6,7-dihydro-5H-[1]pyrindin-6-yl)amide **654675-63-5P**, N-(6,7-Dihydro-5H-[1]pyrindin-6-yl]-6-methoxymethylnicotinamide **654675-72-6P** **654675-81-7P** **654675-89-5P**, 2,4-Dimethyl-N-(6,7,8,9-tetrahydro-5H-cyclohepta[b]pyridin-8-yl]benzamide **654676-59-2P**, (S)-N-[6,7-Dihydro-5H-[1]pyrindin-6-yl]-2,4-dimethylbenzamide **654676-68-3P**, N-[6,7-Dihydro-5H-[1]pyrindin-6-yl]-4-fluorobenzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylated, heteroaryl-condensed cycloalkenylamines for treatment of cardiovascular disorders)

RN 654675-32-8 CAPLUS
CN Benzamide, N-[(6R)-6,7-dihydro-5H-cyclopenta[b]pyridin-6-yl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 654675-72-6 CAPLUS
CN 1,3-Benzodioxole-5-carboxamide, N-(6,7-dihydro-5H-cyclopenta[b]pyridin-6-yl)-2,2-difluoro-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 654675-71-5
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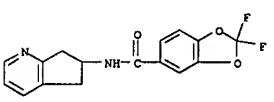


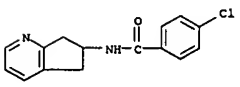
CM 2

CRN 76-05-1
CMF C2 H F3 O2

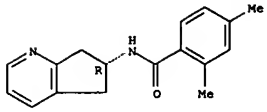
RN 654675-81-7 CAPLUS
CN Benzamide, 4-chloro-N-(6,7-dihydro-5H-cyclopenta[b]pyridin-6-yl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

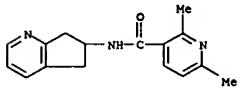
CRN 654675-80-6
CMF C15 H13 Cl N2 O



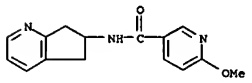
L7 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



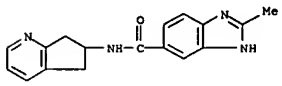
RN 654675-45-3 CAPLUS
CN 3-Pyridinecarboxamide, N-(6,7-dihydro-5H-cyclopenta[b]pyridin-6-yl)-2,6-dimethyl- (9CI) (CA INDEX NAME)



RN 654675-50-0 CAPLUS
CN 3-Pyridinecarboxamide, N-(6,7-dihydro-5H-cyclopenta[b]pyridin-6-yl)-6-methoxy- (9CI) (CA INDEX NAME)



RN 654675-56-6 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-(6,7-dihydro-5H-cyclopenta[b]pyridin-6-yl)-2-methyl- (9CI) (CA INDEX NAME)

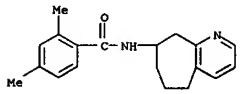


RN 654675-63-5 CAPLUS
CN 3-Pyridinecarboxamide, N-(6,7-dihydro-5H-cyclopenta[b]pyridin-6-yl)-6-(methoxymethyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CM 2

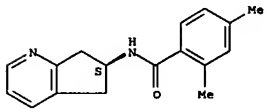
CRN 76-05-1
CMF C2 H F3 O2

RN 654675-89-5 CAPLUS
CN Benzamide, 2,4-dimethyl-N-(6,7,8,9-tetrahydro-5H-cyclohepta[b]pyridin-8-yl)- (9CI) (CA INDEX NAME)

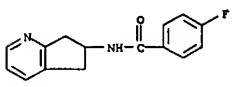


RN 654676-59-2 CAPLUS
CN Benzamide, N-[(6S)-6,7-dihydro-5H-cyclopenta[b]pyridin-6-yl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 654676-68-3 CAPLUS
CN Benzamide, N-(6,7-dihydro-5H-cyclopenta[b]pyridin-6-yl)-4-fluoro- (9CI) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:491183 CAPLUS
DN 139:69525
TI Synthesis of tetrahydrocarbazole derivatives for use as ligands for G-protein coupled receptors and antagonists of gonadotropin-releasing hormone for treatment of disease
IN Koppitz, Marcus; Muhn, Hans Peter; Shaw, Ken; Hess-Stumpp, Holger; Paulini, Klaus
PA Zentaris Ag, Germany
SO PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003051837	A2	20030626	WO 2002-EP14344	20021216
	WO 2003051837	A3	20040226		
	WO 2003051837	C1	20040506		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	CA 2468880	AA	20030626	CA 2002-2468880	20021216
	AU 2002361430	A1	20030630	AU 2002-361430	20021216
	US 2003232873	A1	20031218	US 2002-319833	20021216
	EP 1453803	A2	20040908	EP 2002-796648	20021216
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	BR 2002014958	A	20041228	BR 2002-14958	20021216
	JP 2005518375	T2	20050623	JP 2003-552724	20021216
	ZA 2004003352	A	20040927	ZA 2004-3352	20040514
	NO 2004002198	A	20040709	NO 2004-2198	20040526
PRAI	DE 2001-10164564	A	20011214		
	US 2001-341878P	P	20011221		
	WO 2002-EP14344	W	20021216		

OS MARPAT 139:69525
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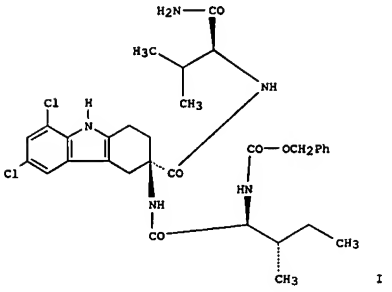
L7 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

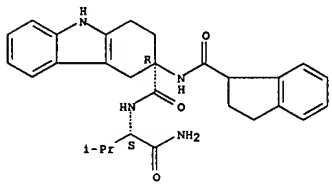
AB The invention relates to novel tetrahydrocarbazole derivs. [e.g., (I)] which act as ligands for G-protein coupled receptors (GPCR), especially as antagonists of gonadotropin-releasing hormone (GnRH), and pharmaceutical composition containing them. Furthermore, the invention relates to the administration of tetrahydrocarbazole derivs. for the treatment of pathol. conditions mediated by GPCR, especially for the inhibition of GnRH, to mammals, especially humans, requiring such treatment, and to the use of tetrahydrocarbazole derivs. for producing a pharmaceutical agent for treating pathol. conditions mediated by GPCR, especially for the inhibition of GnRH. Limited synthesis of intermediate materials is given, with many tables of products exemplified by general synthesis steps. Thus, beginning from 4,4-ethylenedioxycyclohexanone and phenylhydrazine, I was prepared in seven generalized steps. In in vitro tests with alpha T3-1 cells, I had IC50 for human GnRH of 1.5 x 10-8 M, with Ca2+ release of 4.5 x 10-8 M.

IT **548752-58-5P 548752-60-9P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydrocarbazole derivs. for use as ligands for G-protein coupled receptors and antagonists of gonadotropin-releasing hormone for treatment of disease)

RN 548752-58-5 CAPLUS
CN 1H-Carbazole-3-carboxamide, N-[(1S)-1-(aminocarbonyl)-2-methylpropyl]-3-[[(2,3-dihydro-1H-inden-1-yl)carbonyl]amino]-2,3,4,9-tetrahydro-, (3R)-(9CI) (CA INDEX NAME)

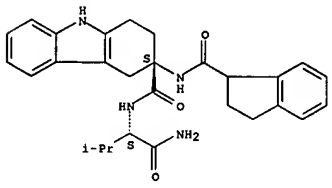
L7 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 548752-60-9 CAPLUS
CN 1H-Carbazole-3-carboxamide, N-[(1S)-1-(aminocarbonyl)-2-methylpropyl]-3-[[(2,3-dihydro-1H-inden-1-yl)carbonyl]amino]-2,3,4,9-tetrahydro-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:693325 CAPLUS
DN 135:257243
TI Preparation of condensed imidazoles as histamine H3 receptor ligands
IN Andersen, Knud Erik; Doerwald, Florencio Zaragoza; Sidelmann, Ulla Grove; Rudolf, Klaus; Stenkamp, Dirk; Hurnaus, Rudolf; Mueller, Stephan Georg; Krist, Bernd; Eriksen, Birgitte; Pesche, Bernd
PA Novo Nordisk A/S, Den.; Boehringer Ingelheim International G.m.b.H.
SO PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001068652	A1	20010920	WO 2001-DK188	20010316
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002058659	A1	20020516	US 2001-810237	20010316
	US 6437147	B2	20020820		
	EP 1268484	A1	20030102	EP 2001-916934	20010316
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003527395	T2	20030916	JP 2001-567743	20010316
	US 2003135056	A1	20030717	US 2002-201865	20020723
	US 6756384	B2	20040629		
PRAI	DK 2000-441	A	20000317		
	DK 2000-1016	A	20000629		
	US 2000-193741P	P	20000331		
	US 2000-216553P	P	20000707		
	US 2001-810237	A1	20010316		
	WO 2001-DK188	W	20010316		

OS MARPAT 135:257243
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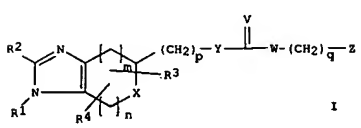


I

AB A novel class of imidazo heterocyclic compds. (shown as I (e.g. 4,5,6,7-tetrahydro-1H-benzimidazole-5-carboxylic acid [(1S)-(naphth-1-yl)ethyl]amide) as well as any optical or geometric isomer or tautomeric form thereof including mixts. of these or a pharmaceutically acceptable salt thereof), pharmaceutical compns. comprising them and use thereof in the treatment and/or prevention of diseases and disorders related to the histamine H3 receptor. In I: R1 is H or a functional group, which can be

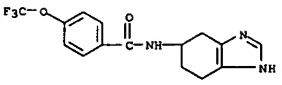
L7 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

converted to H in vivo. R2 is H, C1-6-alkyl, C1-6-alkoxy, C1-6-alkylthio, halogen, cyano, trifluoromethyl, hydroxy, thiol or amino. R3 and R4 independently are H or C1-6-alkyl, which is optionally substituted with aryl or heteroaryl, which are optionally substituted with one or more substituents selected from nitro, -NR7R8, -S(O)2NR7R8, -C(O)NR7R8, hydroxy, halogen, cyano, trifluoromethyl, -OCF3, -OCHF2, -OCH2CHF2, C1-6-alkyl, C2-6-alkenyl, C2-6-alkynyl, C1-6-alkoxy, C1-6-alkylthio, C1-6-alkylsulfonyl, -C(O)OR7, C1-6-alkylcarbonyl, -C(:NOR7)C1-6-alkyl, C3-10-cycloalkyl, C3-10-cycloalkylcarbonyl, -C(:NOR7)C3-10-cycloalkyl, aryl-C1-6-alkyl, heteroaryl-C1 6-alkyl, arylamino, heteroarylamino, aroyl, heteroaroyl, arylsulfonyl, heteroarylsulfonyl, -C(:NOR7)aryl, -C(:NOR7)heteroaryl, arylthio, heteroarylthio, aryloxy and heteroaryloxy. R7 and R8 independently are H or C1-6-alkyl. M is 0-2; n is 1-4; X is a valence bond, -O-, -S-, -S(O)-, -S(O)2- or -CF2-; p is 0-3; Y is valence bond, -O-, -S-, or -NR9-, wherein R9 is H or C1-6-alkyl; V is :O, :S, :NR10 (R10 = H, cyano, nitro, C1-6-alkyl); W is valence bond, -O-, -S-, -NR11- (R11 = H, C1-6-alkyl); q is 0-3. Z is heteroaryl, aryl, aryloxy, C3-10-cycloalkyl, C3-8-heterocyclyl or aryl annulated with C3-8-heterocyclyl, C1-6-alkyl, C2-6-alkenyl or C2-6-alkynyl, which are optionally substituted with various provisos. More particularly, the compds. are useful for the treatment and/or prevention of diseases and disorders in which an interaction with the histamine H3 receptor is beneficial. The claimed compds. generally show a high binding affinity to the histamine H3 receptor, most preferably IC50 < 500 nM. Ninety-two example prepns. are included, but the methods of prepn. are not claimed. Pharmaceutical compns. contg. the compds. are claimed effective for redn. of wt., suppression of appetite and treatment and/or prevention of eating disorders (e.g. bulimia, binge eating), impaired glucose tolerance (IGT), Type 2 diabetes, allergic rhinitis, ulcer, anorexia, diseases and disorders related to the serotonin-3 receptor (5-HT3; e.g. emesis), diseases and disorders related to the vanilloid receptor (e.g. pain, neurogenic inflammation, obesity), and diseases and disorders related to the alpha-2 adrenergic receptor (e.g. sleep inducing agent).

IT **361394-45-8P 361394-49-2P 361394-53-8P**
361394-57-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of condensed imidazoles as histamine H3 receptor ligands)

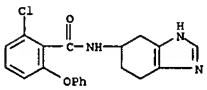
RN 361394-45-8 CAPLUS

CN Benzamide, N-(4,5,6,7-tetrahydro-1H-benzimidazol-5-yl)-4-(trifluoromethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L7 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

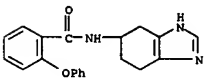
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

● HCl

RN 361394-49-2 CAPLUS

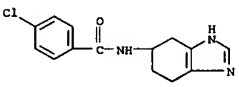
CN Benzamide, 2-phenoxy-N-(4,5,6,7-tetrahydro-1H-benzimidazol-5-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 361394-53-8 CAPLUS

CN Benzamide, 4-chloro-N-(4,5,6,7-tetrahydro-1H-benzimidazol-5-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 361394-57-2 CAPLUS

CN Benzamide, 2-chloro-6-phenoxy-N-(4,5,6,7-tetrahydro-1H-benzimidazol-5-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:626041 CAPLUS

DN 131:257447

TI Preparation of amide derivatives as nociception antagonists

IN Shinkai, Hisashi; Ito, Takao; Yamada, Hideki

PA Japan Tobacco Inc., Japan

SO PCT Int. Appl., 113 pp.
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

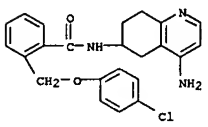
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9948492	A1	19990930	WO 1999-JP1462	19990323
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2325638	AA	19990930	CA 1999-2325638	19990323
	AU 9928558	A1	19991018	AU 1999-28558	19990323
	AU 754716	B2	20021121		
	EP 1072263	A1	20010131	EP 1999-909320	19990323
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	TR 200003598	T2	20010621	TR 2000-200003598	19990323
	BR 9909666	A	20010911	BR 1999-9666	19990323
	NZ 507760	A	20021025	NZ 1999-507760	19990323
	RU 2202344	C2	20030420	RU 2000-126841	19990323
	TW 487571	B	20020521	TW 1999-88104619	19990324
	JP 11335355	A2	19991207	JP 1999-80886	19990325
	JP 3013989	B2	20000228		
	US 6410561	B1	20020625	US 2000-646781	20000922
	FI 2000002103	A	20001117	FI 2000-2103	20000925
	NO 2000004778	A	20001127	NO 2000-4778	20000925
	ZA 2000005881	A	20010823	ZA 2000-5881	20001020
	US 2003055087	A1	20030320	US 2002-141866	20020510
	US 6903094	B2	20050607		
	US 2006030565	A1	20060209	US 2005-145169	20050606
PRAI	JP 1998-100029	A	19980326		
	WO 1999-JP1462	W	19990323		
	US 2000-646781	A3	20000922		
	US 2002-141866	A3	20020510		

OS MARPAT 131:257447

GI For diagram(s), see printed CA Issue.

AB Amide derivs. e.g. I (R2 represents optionally hydroxylated lower alkyl, amino, etc.; the ring B represents Ph, thienyl, etc.; E represents a single bond, -O-, -S-, etc.; the ring G represents aryl, a heterocycle, etc.; R5 represents halogeno, hydroxy, lower alkyl optionally substituted by, for example, halogeno, etc.; t is 0 or an integer of from 1 to 5, provided that when t is 2 to 5, then R5s may be the same or different; m is 0 or an integer of from 1 to 8; and n is 0 or an integer of from 1 to 4) and their salts, having an analgesic effect on serious pains such as postoperative pain via a nociceptin inhibitory action, are prepared Thus, chlorination of 2-[(4-ethylphenoxy)methyl]benzoic acid followed by condensation of the acid chloride with 4,6-diamino-2-methylquinoline gave,

L7 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
after treatment with 1N HCl, 59% N-(4-amino-2-methyl-6-quinolyl)-2-[(4-ethylphenoxy)methyl]benzamide hydrochloride (II). II showed analgesic activity at 1 mg/kg orally in mice.
IT **244219-82-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amide derivs. as nociceptin antagonists)
RN 244219-82-7 CAPLUS
CN Benzamide, N-(4-amino-5,6,7,8-tetrahydro-6-quinolinyl)-2-[(4-chlorophenoxy)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

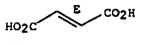


● HCl

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CMF C4 H4 O4

Double bond geometry as shown.



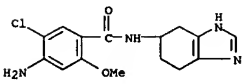
L7 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1997:85131 CAPLUS
DN 126:104085
TI Preparation of benzoic acid derivatives as 5-HT4 receptor agonists
IN Suzuki, Takeshi; Iwaoka, Kyoshi; Naito, Makoto; Myata, Keiji; Kamato, Takeshi; Oota, Mitsuaki
PA Yamanouchi Pharma Co Ltd, Japan
SO Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 08325234	A2	19961210	JP 1995-131264	19950530
PRAI	JP 1995-131264		19950530		

OS MARPAT 126:104085
GI For diagram(s), see printed CA Issue.
AB The title compds. (Ia and Ib; Im = imidazolyl ring; A ring = 4-8 numbered cycloalkyl; n = 0-2; R2, R5, R6 = H, alkyl; B ring = 4-8 numbered N-containing
heterocyclyl; R3 = halo; R4 = lower alkoxy) are prepared I, possessing 5-HT4 receptor antagonism, are useful for prevention and treatment of central and peripheral nervous system, digestive system, cardiovascular system, and urinary system diseases. Thus, 6-(tert-butoxycarbonylamino)-5,6,7,8-tetrahydroimidazo[1,2-a]pyridine was treated with aqueous HCl to give
the title compound 6-amino-5,6,7,8-tetrahydroimidazo[1,2-a]pyridine. I showed 5-HT4 receptor antagonism.
IT **185796-81-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzoic acid derivs. as 5-HT4 receptor agonists)
RN 185796-81-0 CAPLUS
CN Benzamide, 4-amino-5-chloro-2-methoxy-N-(4,5,6,7-tetrahydro-1H-benzimidazol-5-yl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 185796-80-9
CMF C15 H17 Cl N4 O2



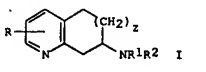
CM 2

CRN 110-17-8

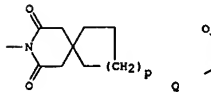
L7 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1991:228759 CAPLUS
DN 114:228759
TI Preparation of 5,6,7,8-tetrahydro-7-aminoquinolines as 5-HT1A antagonists and (partial) agonists
IN Cliffe, Ian Anthony; White, Alan Chapman; Mansell, Howard Langham
PA John Wyeth and Brother Ltd., UK
SO Brit. UK Pat. Appl., 70 pp.
CODEN: BAXXDU
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2230007	A1	19901010	GB 1990-7889	19900406
	GB 2230007	B2	19921014		
	AU 9052971	A1	19901011	AU 1990-52971	19900405
	AU 625845	B2	19920716		
	ZA 9002662	A	19910227	ZA 1990-2662	19900405
	IL 94011	A1	19940624	IL 1990-94011	19900405
	CA 2014062	AA	19901007	CA 1990-2014062	19900406
	CA 2014062	C	20000613		
	EP 395244	A1	19901031	EP 1990-303708	19900406
	EP 395244	B1	19970219		
	R: AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, LU, NL, SE				
	JP 02290852	A2	19901130	JP 1990-92961	19900406
	JP 2945064	B2	19990906		
	HU 54658	A2	19910328	HU 1990-2108	19900406
	HU 217128	B	19991129		
	US 5075303	A	19911224	US 1990-505957	19900406
	DD 298391	A5	19920220	DD 1990-339534	19900406
	FI 95373	B	19951013	FI 1990-1761	19900406
	FI 95373	C	19960125		
	AT 149031	E	19970315	AT 1990-303708	19900406
	ES 2099703	T3	19970601	ES 1990-303708	19900406
	KR 156569	B1	19981116	KR 1990-4697	19900406
	HU 217805	B	20000428	HU 1998-2871	19900406
	US 5194439	A	19930316	US 1991-765282	19910925
PRAI	GB 1989-7865	A	19890407		
	HU 1990-2108	A	19900406		
	US 1990-505957	A3	19900406		

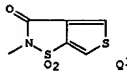
OS CASREACT 114:228759; MARPAT 114:228759
GI



I

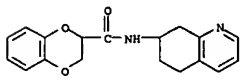


Q



Q1

L7 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
AB The title compds. {I; R = H, HO, alkyl, alkoxy, halo, CF3, NO2, (alkyl)amino, dialkylamino; R1 = H, alkyl; R2 = R1, (CH2)nR3, etc.; R1R2N = (un)substituted pyrrolidino, piperidino, piperazino, etc.; R3 = aryl, benzodioxinyl, cyano, OR4, CO2R5, NR6R7, etc.; R4 = H, alkoxycarbonyl, aryl(alkyl); R5 = H, alkyl, phenylalkyl; R6, R7 = H, alkyl, aryl(alkyl), etc.; R6R7N = Q, Q1, etc.; n = 1-6; p = 1, 2; z = 0-2}, their heteroarom. N-oxides or pharmaceutically acceptable salts, useful for the treatment of, e.g., anxiety, anorexia, and hypertension (no data), were prepared Thus, the addition reaction of PrNHOH.HCl with 5,6-dihydroquinoline by stirring for 1 h with ice-cooling, followed by reduction of the adduct of TiCl3 in HCl/MeOH gave 5,6,7,8-tetrahydro-7-(1-propylamino)quinoline. Cyanomethylation of the latter by heating for 1 h at 100° with ClCH2CN in DMF and reduction of the product by H over Raney Ni in saturated ethanolic NH3 gave the aminoethyl intermediate (I; R = H, R1 = Pr, z = 1; {II; R2 = CH2CH2NH2). This was stirred 0.5 h with 4-FC6H4COCl and Et3N in CH2Cl2 to give title compound (II; R2 = CH2CH2NHCOC6H4F-4) which in vitro (rat hippocampal membrane homogenate) had IC50 of 9 nM for binding on 5-HT1A receptors.
IT 133092-48-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of hydroxytryptamine antagonist and (partial) agonist)
RN 133092-48-5 CAPLUS
CN 1,4-Benzodioxin-2-carboxamide, 2,3-dihydro-N-(5,6,7,8-tetrahydro-7-quinolinyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1959:51174 CAPLUS
DN 53:51174
OREF 53:9232a-i,9233a-i,9234a-b
TI Potential anticancer agents. IX. Tetrahydroquinazoline analogs of tetrahydrofolic acid. 1
AU Koehler, Ruth; Goodman, Leon; DeGraw, J.; Baker, B. R.
CS Stanford Research Inst., Menlo Park, CA
SO Journal of the American Chemical Society (1958), 80, 5779-86
CODEN: JACSAT; ISSN: 0002-7863
DT Journal
LA Unavailable
OS CASREACT 53:51174
AB CH2:CHCN (53 g.) added dropwise with stirring to 80 g. CH2(CO2Et)2 and 100 cc. Me3COH at 30-5° during 50 min., stirred 2 hrs., kept at room temperature overnight, treated with stirring and cooling with dilute HCl to pH 3, poured onto 500 g. ice, and filtered yielded 129 g. (NCCH2CH2)2C(CO2Et)2 (I), m. 63.5-64°. I (128.2 g.) and 550 cc. 6N HCl refluxed 20 hrs., evaporated, extracted with Me2CO, the extract filtered, and evaporated gave 108.5 g. HO2CCH(CH2CH2CO2H)2 (II), m. 114-15°. II (107 g.), 149.8 g. absolute MeOH, 468 cc. (CH2Cl)2, and 4.7 cc. concentrated H2SO4 refluxed 53 hrs. and the organic layer worked up yielded 92.8 g. tri-Me ester (III) of II, b0.05 118-20°. III (400 g.), 100 g. NaOMe, and 1900 cc. dry C6H6 refluxed 6 hrs. with stirring, treated with stirring and cooling with 200 cc. glacial AcOH in 2500 cc. H2O, the aqueous layer extracted with C6H6, and the combined exts. worked up yielded 197.8 g. 2,4-dicarbomethoxycyclohexanone (IV), b5 135-8°, and 44.4 g. III. III (20.0 g.) treated in exactly the same manner, the cooled mixture added to 45 cc. glacial AcOH in 320 cc. cold H2O, the aqueous layer extracted with C6H6, the extract washed with H2O, extracted with 3% aqueous NaOH, the basic extract added immediately to 20 cc. AcOH in 100 cc. H2O and extracted with C6H6, and the extract worked up yielded 12.1 g. IV, m. 41-3°. A similar run with NaH (27.2% suspension in mineral oil) as the condensing agent yielded 58% IV, m. 42-3°. IV (2.14 g.), 1.53 g. H2NC(:NH)NH2.HCl, and 1.8 g. NaOMe in 32 cc. MeOH refluxed 3 hrs., kept overnight, treated with 7 cc. 50% aqueous NaOH, refluxed 75 min., acidified with AcOH, filtered, and the crude product (2.19 g.) repptd. from aqueous saturated NaHCO3 with dilute HCl yielded 2-amino-5,6,7,8-tetrahydro-4-hydroxyquinazoline-6-carboxylic acid (V), Rad 1.82 (5% aqueous Na2HPO4, solvent A). V (3.0 g.) and 60 cc. Ac2O heated 3 hrs. at 135-50°, poured onto 100 g. ice, filtered, and the filtrate evaporated in vacuo to 30 cc. yielded 2.74 g. N-Ac derivative of V, m. above 300°. V (0.21 g.) and 0.30 g. p-MeC6H4SO3H.H2O in 15 cc. BuOH heated 1.25 hrs. with slow distillation of the BuOH, the residue treated with 10 cc. each saturated aqueous NaHCO3 and C6H6, and the upper layer (containing suspended solid) worked up gave 0.27

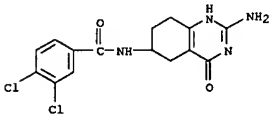
L7 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
g. (crude) Bu ester (VI) of V, m. 273.5-4.5° (hot Methyl Cellosolve), Rad 1.48 (9:1 Methyl Cellosolve-H2O, solvent B); in a larger run the yield of VI, m. 265-6°, was 88%. VI (6.0 g.) in 70 cc. (MeOCH2CH2)2O added with stirring to 6.06 g. AlCl3 and 5.16 g. NaBH4 in 90 cc. (MeOCH2CH2)2O during 35 min. at 20-2°, stirred 65 min. at 22-5°, poured onto about 100 g. ice, the mixt. treated with 6 cc. concd. H2SO4, adjusted to pH 5 with 46 cc. 10% NaOH and evapd. in vacuo at 60°, the residue powdered and extd. 10 hrs. with 800 cc. abs. MeOH in a Soxhlet app., the ext. evapd., the residual crude sulfate (9.77 g.) dissolved in 66 cc. H2O, the soln. filtered, the filtrate adjusted with satd. aq. Na2CO3 to pH 9, and the mixt. chilled gave 3.78 g. 6-CH2OH analog (VII) of V, m. above 300°, Rad 1.00 (solvent B), 1.51 (solvent A), 1.00 (5:2:3 BuOH-AcOH-H2O, solvent C); picrate of VII m. 209-11° (H2O). VII (0.45 g.), 3 cc. dry C5H5N, and 1.5 cc. Ac2O heated 1 hr. at 85°, dild. with 20 cc. H2O, and chilled yielded 0.42 g. (crude) 2-acetamido-6-acetoxymethyl-5,6,7,8-tetrahydro-4-hydroxyquinazoline, m. 207.5-10° (Methyl Cellosolve), Rad 1.45 (solvent C). p-MeC6H4SO2Cl (0.21 g.) in 1.6 cc. C5H5N added dropwise with stirring to 0.20 g. VII in 1.5 cc. C5H5N, stirred 1.3 hrs. at 0°, and poured into 25 cc. ice and H2O yielded 0.05 g. 2-amino-5,6,7,8-tetrahydro-4-hydroxy-6-(p-toluenesulfonyloxymethyl)quinazoline, m. 212-13.5° (aq. Methyl Cellosolve). SOCl2 (80 g.) added slowly with cooling to 5.00 g. VII and 2.5 g. dry C5H5N during 15 min., refluxed 130 min., concd. in vacuo to 1/4 the original vol., poured with stirring onto 200 g. ice, filtered, adjusted with 10% aq. NaOH to pH 6-7, and filtered yielded 4.32 g. 6-ClCH2 analog (VIII) of V, m. 287-8.5° (Methyl Cellosolve). VIII (0.54 g.), 2.0 g. N-(p-aminobenzoyl)-L-glutamic acid (IX), 5.0 cc. Bu Cellosolve, and a trace of NaI refluxed 13 hrs., cooled, dild. with 100 cc. Et2O, filtered, the residue washed with Et2O, stirred to soln. with 5 cc. N NaOH, treated with Norit, filtered, adjusted to pH 6 with N HCl, and filtered gave 0.78 g. crude N-{[[(2-amino-5,6,7,8-tetrahydro-4-hydroxy-6-quinazolinyl)methyl]amino]benzoyl}-L-glutamic acid (5,8-dideaza-5,6,7,8-tetrahydrofolic acid) (X), m. 188-210°; about 0.15 g. crude X in 10 cc. satd. aq. NaHCO3 treated with Norit and adjusted to pH 5 with N HCl, the ppt. extd. with hot C5H5N (80), and the ext. dild. with 50 cc. Et2O gave purified X, m. 199-202°. X (10 mg.) heated 1 hr. at 100° with 2 cc. 4N HCl and chromatographed on paper with solvent C gave a spot for L-glutamic acid, Rad 0.53. VIII (0.54 g.), 0.95 g. p-ClC6H4NH2, 5.0 cc. Butyl Cellosolve, and a trace NaI refluxed 15 hrs., cooled, dild. with 100 cc. Et2O, filtered, the residue washed with Et2O and H2O, the crude product (0.16 g.) dissolved in N HCl and centrifuged, the supernatant neutralized with aq. NaHCO3, the ppt. washed with H2O, dissolved in 6 cc. hot Me Cellosolve, treated with Norit, and repptd. with H2O gave 0.02 g. 6-(p-ClC6H4NHCH2) analog of V, m. 229-31° with darkening at 190°, Rad 1.38 (solvent C). SOCl2 (16.4 g.) added dropwise to 0.90 g. V and then with 0.35 g. C5H6N, stirred 3.75 hrs. at room temp., dild. with 30 cc. dry Et2O, cooled, filtered, and the residue washed with 20 cc. dry Et2O yielded 6-chloroformyl analog (XI) of V.HCl. XI.HCl from 0.50 g. V in 30 cc. Et2O treated 20 min. with dry NH3 and filtered, the residue washed with 10 cc. Et2O and H2O, dried (0.55 g.), heated with stirring in 10 cc. satd. aq. NaHCO3, washed with H2O, dried, dissolved in 40 cc. cold 0.1N HCl, filtered, and neutralized with aq. NaHCO3 yielded the amide of V.0.5H2O, m. above 300°, Rad 1.53 (solvent A), 0.80 (solvent B), 0.71 (solvent C). XI from 0.20 g. V added to 0.56 g. p-ClC6H4NH2 in 6 cc. dry Me2CO, stirred 3 hrs., dild. with 5 cc. Et2O, filtered, the residue washed with Et2O and H2O, the crude product (0.22 g.) heated with stirring in 5 cc. satd. aq. NaHCO3, filtered, washed with H2O, dissolved in 15 cc. HCONMe2, filtered, dild. to incipient turbidity with H2O, and chilled yielded 0.10 g. 2-amino-6-(p-chlorophenylcarbamoyl)-5,6,7,8-tetrahydro-4-hydroxyquinazoline (XII), Rad 1.33 (solvent B). Similarly were prepd. the following analogs of XII (% yield given): diethylcarbamoyl 35, o-chlorophenylcarbamoyl 34, 3,4-dichlorophenylcarbamoyl 64, m-trifluoromethylcarbamoyl 55, p-fluorophenylcarbamoyl 50. XI (0.42 g.) from 0.42 g. V added in small portions during 0.5 hr. to 0.53 g. IX in 4 cc. C5H5N at 0°, stirred 2 hrs. at room temp., kept overnight, added to 100 g. ice, and centrifuged gave V, the supernatant concd. in vacuo to about 25 cc. and the crude solid (0.74 g.) recrystd. from 40 cc. hot H2O yielded 0.06 g. N-{p-[(2-amino-5,6,7,8-tetrahydro-4-hydroxy-6-quinazolinyl)carbamoylamino]-benzoyl}-L-glutamic acid (XIIa), m. 221-3°. Abs. MeOH (10 cc.) and 0.30 g. AcCl kept 10 min. at 0°, treated with 1.00 g. IX and then 1.0 cc. AcCl, refluxed 10 min., evapd. in vacuo, the residue treated with 10 cc. H2O, adjusted to pH 8 with concd. NH4OH, and the ppt. cooled and dried gave 0.89 g. di-Me ester (XIII) of IX, m. 110-12.5° (EtOH-Et2O), Rad 2.18 (solvent A). XI from 0.21 g. V added to 0.29 g. XIII in 2 cc. dry C5H5N, stirred overnight, dild. with 5 cc. H2O, evapd. to dryness, the residue slurried with 28 cc. H2O, 15 cc. hot satd. aq. NaHCO3, and 20 cc. H2O, and the crude product (0.30 g.) recrystd. from HCONMe2-MeOH and then aq. HCONMe2 yielded 47% di-Me ester of XIIa, m. 285-5.5°, Rad 1.34 (solvent B), 1.60 (solvent C). XI from 4.2 g. V added in small portions with stirring to 3.4 g. Et-SH in 40 cc. dry pyridine, stirred at room temp. overnight, poured into 600 cc. iced H2O, filtered, and the brown residue (4.1 g.) recrystd. from 350 cc. H2O and 175 cc. Methyl Cellosolve gave 3.3 g. crude cryst. material, darkens near 220°, softens near 260°, and does not show a definite m.p. to 300°; a 0.26-g. sample stirred with 30 cc. 0.1NHCl and filtered, the filtrate neutralized with aq. NaHCO3, and the ppt. recrystd. from 28 cc. Me Cellosolve gave 0.07 g. pure Et 2-amino-5,6,7,8 - tetrahydro - 4 - hydroxyquinazoline - 6 - thiolcarboxylate (XIV), appeared to sublime near 245°, Rad 1.35 (solvent C). XI from 4.62 g. V, 11.0 cc. PhSH, and 44 cc. pyridine gave 5.55 g. Ph ester analog of XIV, did not melt below 300°. VI (1.00 g.) and 4 cc. 85% N2H4.H2O refluxed 80 min. with stirring and evapd. in vacuo, the solid residue washed with cold H2O, and a 0.20-g. sample of the product (0.84 g.) recrystd. from 30 cc. HCONMe2 and 22 cc. H2O with C yielded carbohydrazide (XV) of V. NaNO2 (1.04 g.) in 20 cc. H2O added dropwise with stirring and cooling to 2.66 g. XV in 9.3 cc. glacial AcOH, 9.3 cc. glacial AcOH, and 46 cc. H2O during 10 min., stirred 1 hr., added dropwise with stirring to 50 cc. 1.5N HCl at 55° during 10 min., stirred 45 min. at 55°, adjusted to pH 7 with satd. aq. Na2CO3, and added to 5.0 g. picric acid in 350 cc. H2O gave the dipicrate (XVI) of 2,6-diamino-5,6,7,8-tetrahydro-4-hydroxyquinazoline (XVII); it has no definite m.p., but slowly darkens and is completely decompd. at 285°. XVI (1.00 g.) in 20 cc. H2O and 50 cc. C6H6 treated with 2.6

L7 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
cc. concd. HCl, the aq. layer washed with C6H6 and evapd. in vacuo, the crude residue dissolved in 60 cc. abs. MeOH and filtered, and the filtrate
dild. with 200 cc. dry Et2O pptd. 0.54 g. XVII.2HCl, m. 272-3°, Rad 2.28 (solvent A), 0.52 (solvent C). XVII.2HCl (0.40 g.) and 0.58 g. NaHCO3 in 10 cc. H2O treated with stirring with 0.34 g. p-FC6H4SO2Cl, stirred 21 hrs. at room temp., and filtered gave 0.46 g. crude product; a 0.34-g. sample recrystd. with C from aq. HCONMe2, dissolved in 5 cc. N NaOH, decolorized with Norite, filtered, and the filtrate adjusted to pH 6-7 with N HCl gave 0.22 g. pure N-(2-amino-5,6,7,8-tetrahydro-4-hydroxy-6-quinazolinyl)-p-fluorobenzenesulfonamide, m. above 300°. XVII.2HCl (0.40 g.) and 0.58 g. NaHCO3 in 10 cc. H2O treated with stirring with 0.36
g. 3,4-Cl2C6H3COCl, stirred 22 hrs. at room temp., filtered, and the crude
product (0.53 g.) recrystd. from aq. HCONMe2 yielded 0.37 g. N-(2-amino-5,6,7,8-tetrahydro-4-hydroxy-6-quinazolinyl)-3,4-dichlorobenzamide, m. above 300°.

IT **5452-18-6**, 4-Quinazolinol, 2-amino-6-(3,4-dichlorobenzamido)-5,6,7,8-tetrahydro-
(preparation of)

RN 5452-18-6 CAPLUS

CN Benzamide, N-(2-amino-5,6,7,8-tetrahydro-4-hydroxy-6-quinazolinyl)-3,4-dichloro- (8CI) (CA INDEX NAME)



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L12 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:117214 CAPLUS
DN 140:163869
TI Preparation of acylated, heteroaryl-condensed **cycloalkenylamines** for treatment of cardiovascular disorders
IN **Strobel, Hartmut; Wohlfart, Paulus**
PA Aventis Pharma Deutschland GmbH, Germany
SO Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1388342	A1	20040211	EP 2002-17586	20020807
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	WO 2004014372	A1	20040219	WO 2003-EP8103	20030724
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	EP 1534277	A1	20050601	EP 2003-784055	20030724
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	BR 2003013240	A	20050927	BR 2003-13240	20030724
	JP 2005538124	T2	20051215	JP 2004-526765	20030724
	US 2004092513	A1	20040513	US 2003-632083	20030731
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	US 2002-432441P	P	20021211		
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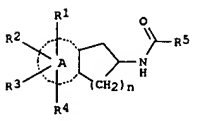

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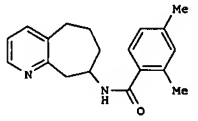

II

L12 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L12 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB The title compds. (I) [the ring A = an aromatic 5-membered or 6-membered ring containing 1 or 2-nitrogen atoms as ring heteroatoms, or an aromatic 5-membered ring containing 1 ring heteroatom which is an oxygen atom or a sulfur atom or 2 ring heteroatoms one of which is a nitrogen atom and the other of which is an oxygen atom or a sulfur atom; R1, R4 = H, each (un)substituted C1-10 alkyl, C2-10 alkenyl, or C2-10 alkynyl, COR9, CONR10R11, CO2R12, CF3, halogens, cyano, NR13R14, OR1, S(O)mR16, SO2NR17R18, NO2; R1 and R4 cannot be halogen, cyano or NO2 if R1 or R4 is bonded to a ring nitrogen atom; R2, R3 = H, halogens, cyano, (un)substituted C1-10 alkyl, PhCONH, PhSO2-O, (C1-6 alkyl)-CO, or PhCO, OH, C1-10 alkoxy, PhO, S(O)mR19, CF3, cyano, NO2, C1-10 alkylamino, di(C1-10 alkyl)amino, (C1-6 alkyl)-CONH; but R2 and R3 cannot be halogen, cyano or NO2 if R2 or R3 is bonded to a ring nitrogen atom; R5 = (un)substituted Ph, naphth-1-yl, naphth-2-yl, a 5-membered to 1 O-membered, aromatic, monocyclic or bicyclic heterocycle containing one or more heteroatoms selected from the group consisting of N, O and S; R9 = (un)substituted C1-10 alkyl; R10, R12, R17 = H, (un)substituted C1-10 alkyl; R11, R18 = H, C1-10 alkyl; R13, R14 = H, C1-6 alkyl, each (un)substituted Ph, benzyl, heteroaryl, (C1-6 alkyl)-CO; R16 = (un)substituted C1-10 alkyl, CF3, each (un)substituted Ph or heteroaryl; m = 0, 1, 2; n = 1, 2, 3] are prepared These compds. upregulate the expression of the enzyme endothelial nitric oxide (NO) synthase and can be applied in conditions in which an increased expression of said enzyme or an increased NO level or the normalization of a decreased NO level is desired. They are useful in the treatment of various disease states including cardiovascular disorders such as atherosclerosis, thrombosis, coronary artery disease, hypertension, and cardiac insufficiency. The diseases also include stable or unstable angina pectoris, coronary heart disease, Prinzmetal angina, acute coronary syndrome, heart failure, myocardial infarction, stroke, peripheral artery occlusive disease, endothelial dysfunction, restenosis, endothelial damage after PT- CA, essential hypertension, pulmonary hypertension, secondary hypertension, renovascular hypertension, chronic glomerulonephritis, erectile dysfunction, ventricular arrhythmia, diabetes, diabetes complications, nephropathy, retinopathy, angiogenesis, asthma bronchiale, chronic renal failure, cirrhosis of the liver, osteoporosis, restricted memory performance or a restricted ability to learn, or for the lowering of cardiovascular risk of postmenopausal women or of women taking contraceptives. For example, 2,4-dimethyl-N-(6,7,8,9-tetrahydro-5H-cycloheptа[b]pyridin-8-yl)benzamide (II) inhibited activation of human endothelial nitric oxide synthetase gene cloned in human endothelial cell line with EC50 of 0.054 μM.

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ALL CITATIONS AVAILABLE IN THE RE FORMAT

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     (FILE 'HOME' ENTERED AT 11:50:53 ON 08 MAR 2006)

     FILE 'REGISTRY' ENTERED AT 11:51:02 ON 08 MAR 2006
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     FILE HOME

     FILE REGISTRY
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     DICTIONARY FILE UPDATES:   7 MAR 2006  HIGHEST RN 876109-17-0

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